file copy

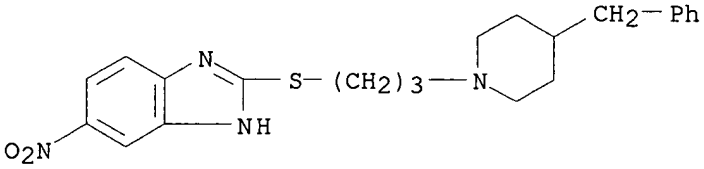
10/019,249

ACCESSION NUMBER: 2000:209087 CAPLUS
DOCUMENT NUMBER: 132:343200
TITLE: Parallel synthesis of a series of subtype-selective NMDA receptor antagonists
AUTHOR(S): Gregory, Tracy F.; Wright, Jon L.; Wise, Lawrence D.; Meltzer, Leonard T.; Serpa, Kevin A.; Konkoy, Christopher S.; Whittemore, Edward R.; Woodward, Richard M.
CORPORATE SOURCE: Department of Chemistry, Division of Warner-Lambert Company, Parke-Davis Pharmaceutical Research, Ann Arbor, MI, 48105, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(6), 527-529
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

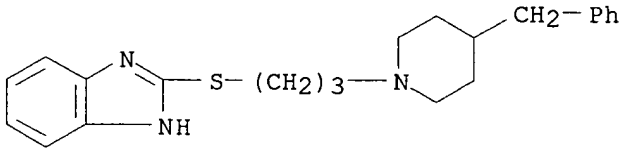
AB A series of 1-[(heteroarylthio)alkyl]-4-benzylpiperidines was rapidly synthesized through the use of parallel synthesis to investigate the binding affinity for the NR1A/2B receptor subtype.

IT **269079-52-9P 269079-54-1P**
RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(parallel synthesis and NR1A/2B receptor potency of [(heteroarylthio)alkyl]benzylpiperidines as NMDA antagonists)

RN 269079-52-9 CAPLUS
CN 1H-Benzimidazole, 5-nitro-2-[[3-[4-(phenylmethyl)-1-piperidinyl]propyl]thio]- (9CI) (CA INDEX NAME)



RN 269079-54-1 CAPLUS
CN 1H-Benzimidazole, 2-[[3-[4-(phenylmethyl)-1-piperidinyl]propyl]thio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE COVERS 1907 - 13 Dec 2002 VOL 137 ISS 25
FILE LAST UPDATED: 12 Dec 2002 (20021212/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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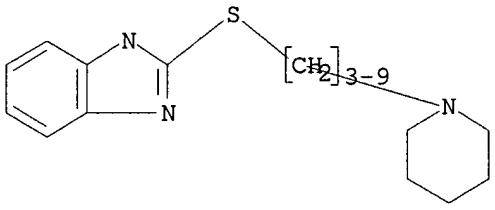
L1 STR



Structure attributes must be viewed using STN Express query preparation.
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L4 3 SEA FILE=CAPLUS L3

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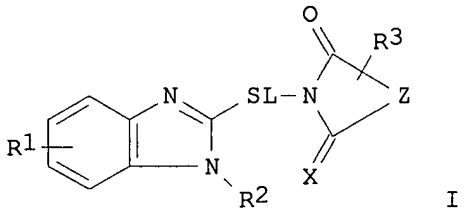
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:12446 CAPLUS
DOCUMENT NUMBER: 134:86250
TITLE: Preparation and effect of benzimidazole compounds as antiarteriosclerotics
INVENTOR(S): Aoki, Kozo; Aikawa, Kazuhiro; Kawakami, Masayuki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000613	A1	20010104	WO 2000-JP4204	20000627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1201664	A1	20020502	EP 2000-940847	20000627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: JP 1999-185568 A 19990630
WO 2000-JP4204 W 20000627

OTHER SOURCE(S): MARPAT 134:86250

GI



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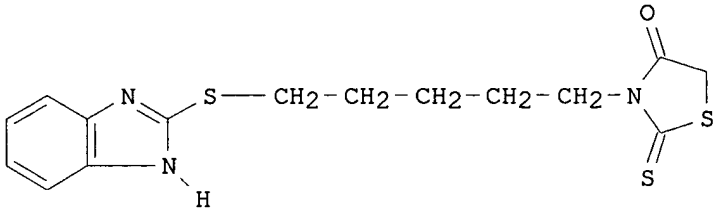
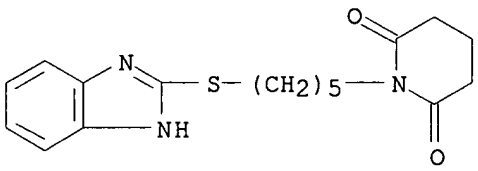


II

AB Title compds.[I; wherein R1 is a substituent on the benzene ring which is selected from the group consisting of hydrogen, halogeno, lower alky, and lower alkoxy; R2 is hydrogen, alkyl, or acyl; and R3 is a substituent on the ring contg. nitrogen and Z; Z is a divalent group constituting a five- or six-membered ring; L is C4-C8 alkylene or an ethylene-oxy group represented by the general formula: (CH2CH2O)nCH2CH2 (wherein n is 1 or 2); and X is O or S] and salts thereof, which exhibit an inhibitory activity against the loading of macrophages in foam cells formation and are useful as the active ingredient of drugs to be used in the prevention and/or treatment of arteriosclerosis. Thus, the title compd. II was prepd. and tested.

IT **316362-98-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and effect of benzimidazole compds. as antiarteriosclerotics)
RN 316362-98-8 CAPLUS
CN 2,6-Piperidinedione, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]- (9CI) (CA INDEX NAME)

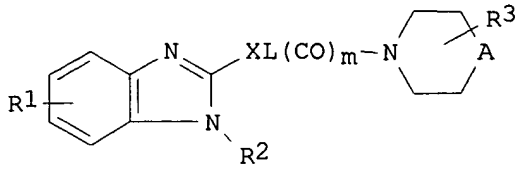


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:12426 CAPLUS
DOCUMENT NUMBER: 134:86247
TITLE: Preparation and effect of benzimidazoles as antiarteriosclerotics
INVENTOR(S): Aoki, Kozo; Aikawa, Kazuhiro; Kawakami, Masayuki; Yan, Yongzhe
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000588	A1	20010104	WO 2000-JP4203	20000627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1197487	A1	20020417	EP 2000-939171	20000627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1999-181142 A 19990628
WO 2000-JP4203 W 20000627
OTHER SOURCE(S): MARPAT 134:86247
GI



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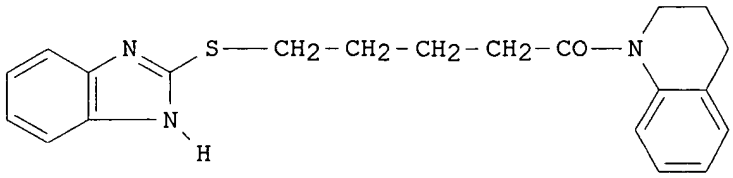


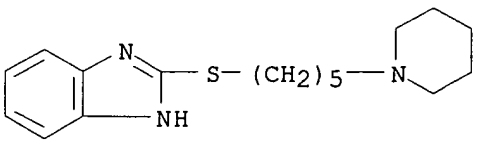
II

AB Title compds. [I; wherein R1 is hydrogen, halogeno, lower alkyl, or lower alkoxy; R2 is hydrogen, alkyl, or acyl; R3 is a substituent on the ring or forming fused ring; A is O or CH2, or alternatively A represents a CH group binding to an adjacent carbon atom through a double bond; L is C4-C8 alkylene or an ethylene-oxy connecting group represented by the general formula: (CH2CH2O)nCH2CH2 (wherein n is 1 or 2); X is O, S, or methylene; and m is 0 or 1] or salts thereof, which exhibit inhibitory activities against the loading of macrophages in forming foam cells and are useful as the active ingredient of drugs to be used in the prevention and/or treatment of arteriosclerosis. Thus, the title compd. II was prepd. and tested.

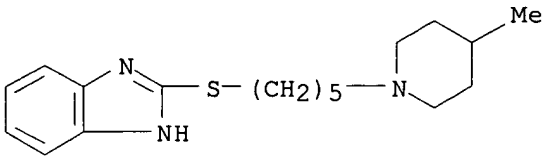
IT **316371-85-4P 316371-87-6P 316371-89-8P**
316371-92-3P 316371-94-5P 316371-97-8P
316371-98-9P 316371-99-0P 316372-05-1P
316372-06-2P 316372-07-3P 316372-10-8P
316372-11-9P 316372-12-0P 316372-13-1P
316372-14-2P 316372-15-3P 316372-22-2P
316372-23-3P 316372-24-4P 316372-25-5P
316372-26-6P 316372-27-7P 316372-28-8P
316372-29-9P 316372-30-2P 316372-31-3P
316372-32-4P 316372-52-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and effect of benzimidazoles as antiarteriosclerotics)

RN 316371-85-4 CAPLUS

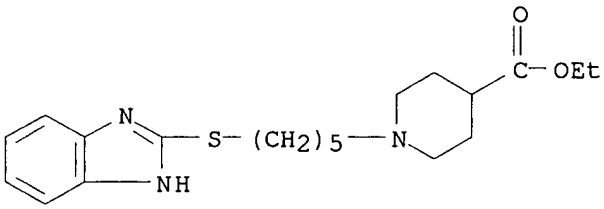
CN 1H-Benzimidazole, 2-[[5-(1-piperidinyl)pentyl]thio]- (9CI) (CA INDEX NAME)



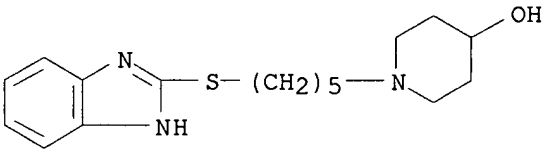
RN 316371-87-6 CAPLUS
CN 1H-Benzimidazole, 2-[[5-(4-methyl-1-piperidinyl)pentyl]thio]- (9CI) (CA INDEX NAME)



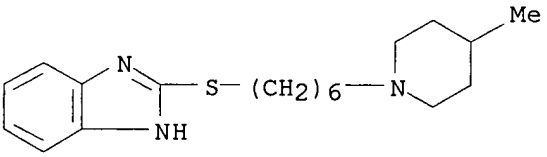
RN 316371-89-8 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]-, ethyl ester (9CI) (CA INDEX NAME)



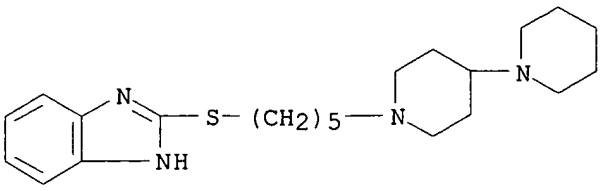
RN 316371-92-3 CAPLUS
CN 4-Piperidinol, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]- (9CI) (CA INDEX NAME)



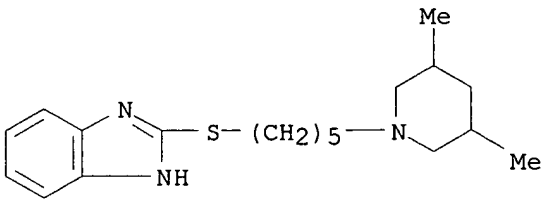
RN 316371-94-5 CAPLUS
CN 1H-Benzimidazole, 2-[[6-(4-methyl-1-piperidinyl)hexyl]thio]- (9CI) (CA INDEX NAME)



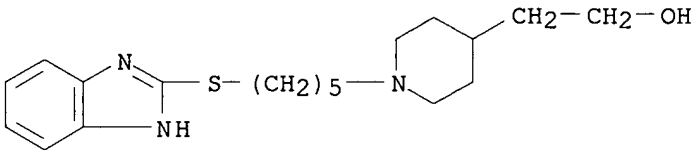
RN 316371-97-8 CAPLUS
CN 1H-Benzimidazole, 2-[(5-[1,4'-bipiperidin]-1'-ylpentyl)thio]- (9CI) (CA INDEX NAME)



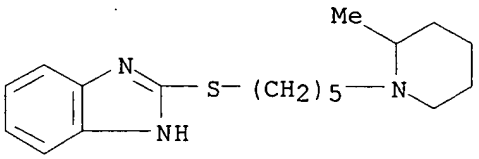
RN 316371-98-9 CAPLUS
CN 1H-Benzimidazole, 2-[[5-(3,5-dimethyl-1-piperidinyl)pentyl]thio]- (9CI) (CA INDEX NAME)



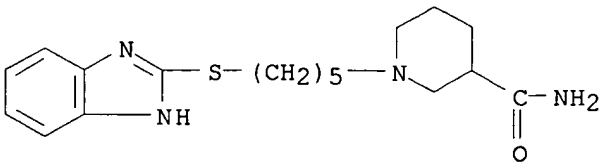
RN 316371-99-0 CAPLUS
CN 4-Piperidineethanol, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]- (9CI) (CA INDEX NAME)



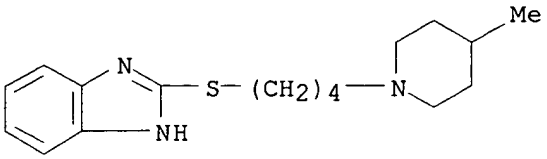
RN 316372-05-1 CAPLUS
CN 1H-Benzimidazole, 2-[[5-(2-methyl-1-piperidinyl)pentyl]thio]- (9CI) (CA INDEX NAME)



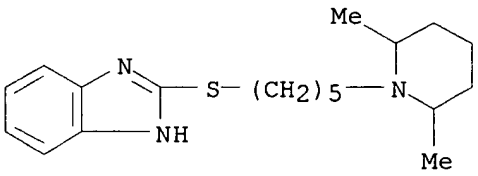
RN 316372-06-2 CAPLUS
CN 3-Piperidinecarboxamide, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]- (9CI) (CA INDEX NAME)



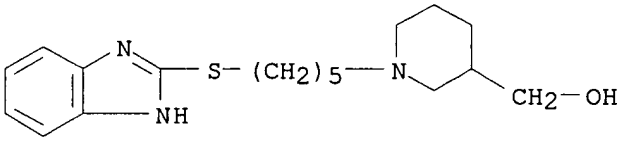
RN 316372-07-3 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(4-methyl-1-piperidinyl)butyl]thio]- (9CI) (CA INDEX NAME)



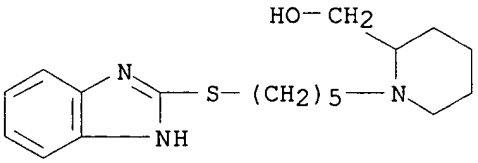
RN 316372-10-8 CAPLUS
CN 1H-Benzimidazole, 2-[[5-(2,6-dimethyl-1-piperidinyl)pentyl]thio]- (9CI) (CA INDEX NAME)



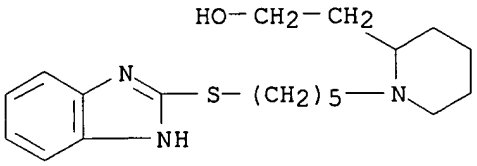
RN 316372-11-9 CAPLUS
CN 3-Piperidinemethanol, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]- (9CI) (CA INDEX NAME)



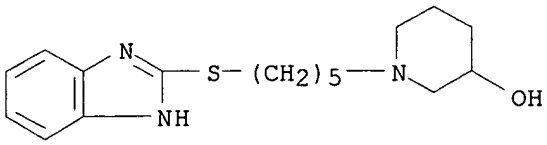
RN 316372-12-0 CAPLUS
CN 2-Piperidinemethanol, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]- (9CI) (CA INDEX NAME)



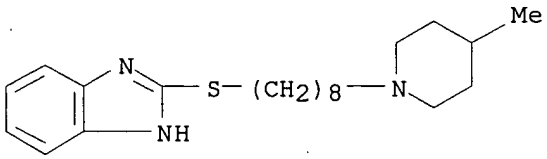
RN 316372-13-1 CAPLUS
CN 2-Piperidineethanol, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]- (9CI) (CA INDEX NAME)



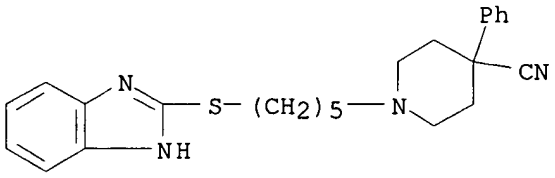
RN 316372-14-2 CAPLUS
CN 3-Piperidinol, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]- (9CI) (CA INDEX NAME)



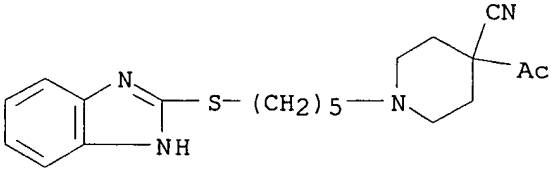
RN 316372-15-3 CAPLUS
CN 1H-Benzimidazole, 2-[[8-(4-methyl-1-piperidinyl)octyl]thio]- (9CI) (CA INDEX NAME)



RN 316372-22-2 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]-4-phenyl- (9CI) (CA INDEX NAME)

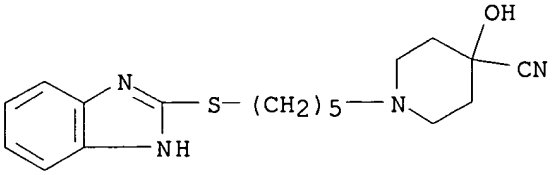


RN 316372-23-3 CAPLUS
CN 4-Piperidinecarbonitrile, 4-acetyl-1-[5-(1H-benzimidazol-2-ylthio)pentyl]- (9CI) (CA INDEX NAME)

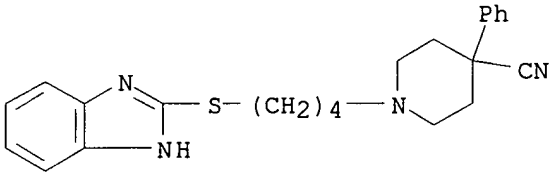


RN 316372-24-4 CAPLUS

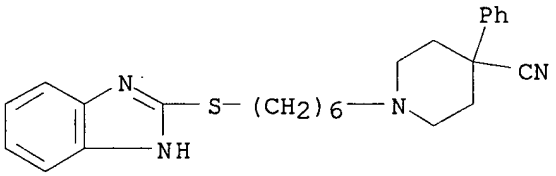
CN 4-Piperidinecarbonitrile, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]-4-hydroxy- (9CI) (CA INDEX NAME)



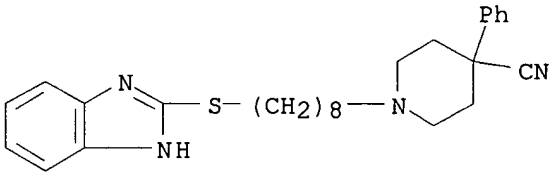
RN 316372-25-5 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[4-(1H-benzimidazol-2-ylthio)butyl]-4-phenyl- (9CI) (CA INDEX NAME)



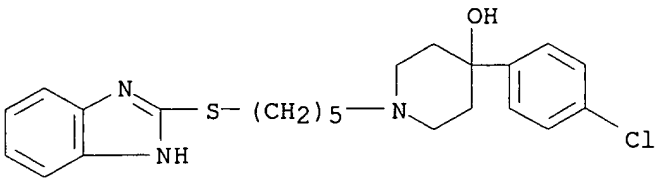
RN 316372-26-6 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[6-(1H-benzimidazol-2-ylthio)hexyl]-4-phenyl- (9CI) (CA INDEX NAME)



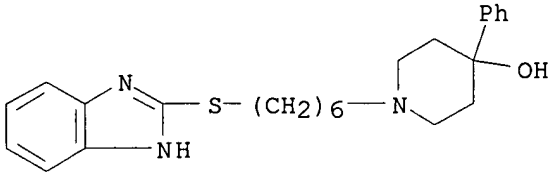
RN 316372-27-7 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[8-(1H-benzimidazol-2-ylthio)octyl]-4-phenyl- (9CI) (CA INDEX NAME)



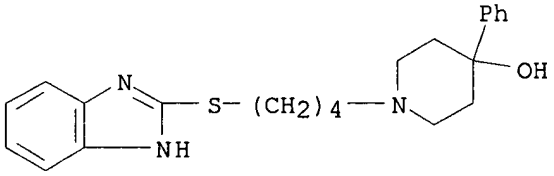
RN 316372-28-8 CAPLUS
CN 4-Piperidinol, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]-4-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



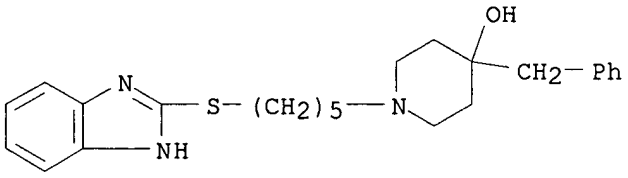
RN 316372-29-9 CAPLUS
CN 4-Piperidinol, 1-[6-(1H-benzimidazol-2-ylthio)hexyl]-4-phenyl- (9CI) (CA INDEX NAME)



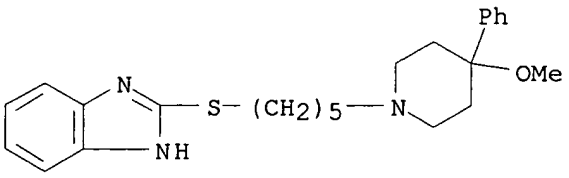
RN 316372-30-2 CAPLUS
CN 4-Piperidinol, 1-[4-(1H-benzimidazol-2-ylthio)butyl]-4-phenyl- (9CI) (CA INDEX NAME)



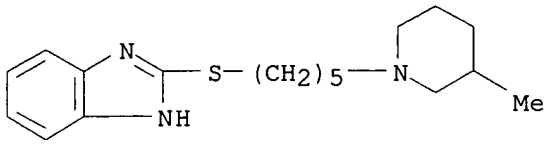
RN 316372-31-3 CAPLUS
CN 4-Piperidinol, 1-[5-(1H-benzimidazol-2-ylthio)pentyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 316372-32-4 CAPLUS
CN 1H-Benzimidazole, 2-[[5-(4-methoxy-4-phenyl-1-piperidinyl)pentyl]thio]- (9CI) (CA INDEX NAME)



RN 316372-52-8 CAPLUS
CN 1H-Benzimidazole, 2-[[5-(3-methyl-1-piperidinyl)pentyl]thio]- (9CI) (CA INDEX NAME)



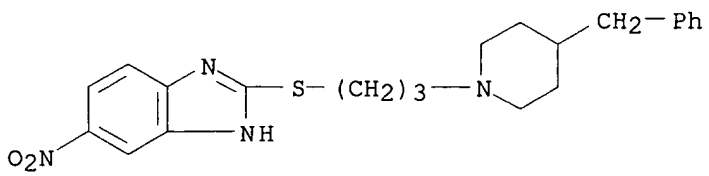
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:209087 CAPLUS
DOCUMENT NUMBER: 132:343200
TITLE: Parallel synthesis of a series of subtype-selective NMDA receptor antagonists
AUTHOR(S): Gregory, Tracy F.; Wright, Jon L.; Wise, Lawrence D.; Meltzer, Leonard T.; Serpa, Kevin A.; Konkoy, Christopher S.; Whittemore, Edward R.; Woodward, Richard M.
CORPORATE SOURCE: Department of Chemistry, Division of Warner-Lambert Company, Parke-Davis Pharmaceutical Research, Ann Arbor, MI, 48105, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(6), 527-529
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

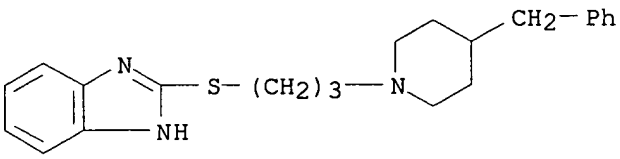
AB A series of 1-[(heteroarylthio)alkyl]-4-benzylpiperidines was rapidly synthesized through the use of parallel synthesis to investigate the binding affinity for the NR1A/2B receptor subtype.

IT **269079-52-9P 269079-54-1P**
RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(parallel synthesis and NR1A/2B receptor potency of [(heteroarylthio)alkyl]benzylpiperidines as NMDA antagonists)

RN 269079-52-9 CAPLUS
CN 1H-Benzimidazole, 5-nitro-2-[[3-[4-(phenylmethyl)-1-piperidinyl]propyl]thio]- (9CI) (CA INDEX NAME)



RN 269079-54-1 CAPLUS
CN 1H-Benzimidazole, 2-[[3-[4-(phenylmethyl)-1-piperidinyl]propyl]thio]- (9CI) (CA INDEX NAME)

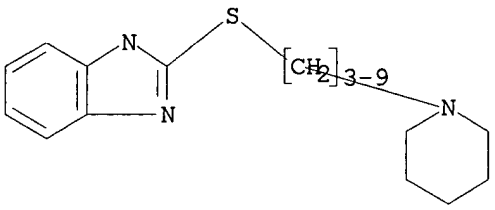


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file uspatall
FILE 'USPATFULL' ENTERED AT 13:58:03 ON 13 DEC 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 13:58:03 ON 13 DEC 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 33 SEA FILE=REGISTRY SSS FUL L1
L5 0 SEA L3

=> file caold
FILE 'CAOLD' ENTERED AT 13:58:13 ON 13 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l3
L6 0 L3

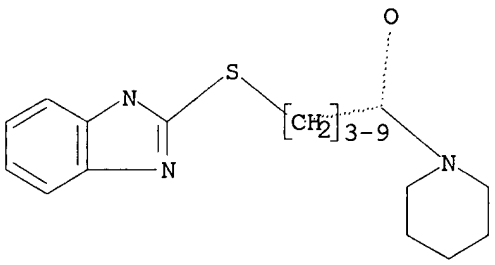
=> file caplus
FILE 'CAPLUS' ENTERED AT 14:22:37 ON 13 DEC 2002

FILE COVERS 1907 - 13 Dec 2002 VOL 137 ISS 25
FILE LAST UPDATED: 12 Dec 2002 (20021212/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d que
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 1 SEA FILE=REGISTRY SSS FUL L1
L4 1 SEA FILE=CAPLUS L3

=> d l4 ibib abs hitstr

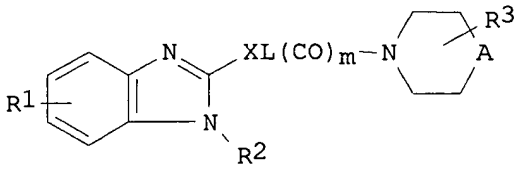
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:12426 CAPLUS
DOCUMENT NUMBER: 134:86247
TITLE: Preparation and effect of benzimidazoles as antiarteriosclerotics
INVENTOR(S): Aoki, Kozo; Aikawa, Kazuhiro; Kawakami, Masayuki; Yan, Yongzhe
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000588	A1	20010104	WO 2000-JP4203	20000627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1197487	A1	20020417	EP 2000-939171	20000627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1999-181142 A 19990628
WO 2000-JP4203 W 20000627

OTHER SOURCE(S): MARPAT 134:86247

GI



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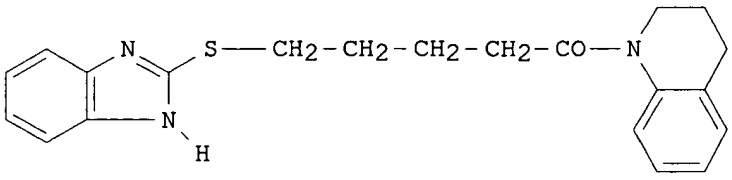
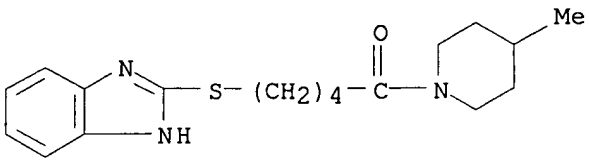


II

AB Title compds. [I; wherein R1 is hydrogen, halogeno, lower alkyl, or lower alkoxy; R2 is hydrogen, alkyl, or acyl; R3 is a substituent on the ring or forming fused ring; A is O or CH2, or alternatively A represents a CH group binding to an adjacent carbon atom through a double bond; L is C4-C8 alkylene or an ethylene-oxy connecting group represented by the general formula: (CH2CH2O)nCH2CH2 (wherein n is 1 or 2); X is O, S, or methylene; and m is 0 or 1] or salts thereof, which exhibit inhibitory activities against the loading of macrophages in forming foam cells and are useful as the active ingredient of drugs to be used in the prevention and/or treatment of arteriosclerosis. Thus, the title compd. II was prepd. and tested.

IT **316371-96-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and effect of benzimidazoles as antiarteriosclerotics)
RN 316371-96-7 CAPLUS
CN Piperidine, 1-[5-(1H-benzimidazol-2-ylthio)-1-oxopentyl]-4-methyl- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file uspatall
FILE 'USPATFULL' ENTERED AT 14:23:17 ON 13 DEC 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 14:23:17 ON 13 DEC 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> s l3
L5 0 L3

=> file reg
FILE 'REGISTRY' ENTERED AT 14:25:14 ON 13 DEC 2002
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 12 DEC 2002 HIGHEST RN 476148-76-2
DICTIONARY FILE UPDATES: 12 DEC 2002 HIGHEST RN 476148-76-2

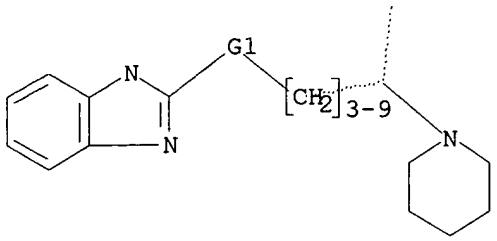
TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d que
L6 STR



G1 O,CH2

Structure attributes must be viewed using STN Express query preparation.
L8 0 SEA FILE=REGISTRY SSS FUL L6

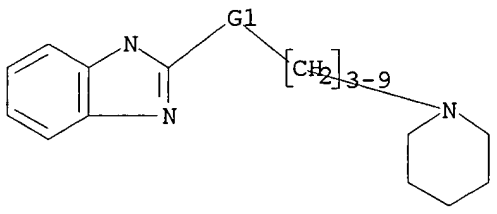
=> file caplus
FILE 'CAPLUS' ENTERED AT 14:26:48 ON 13 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 13 Dec 2002 VOL 137 ISS 25
FILE LAST UPDATED: 12 Dec 2002 (20021212/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d que
L9 STR

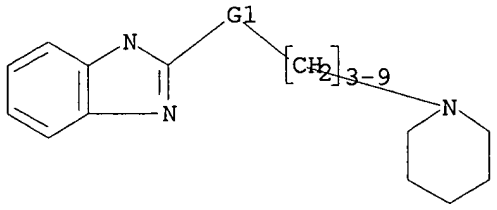


G1 O,CH2

Structure attributes must be viewed using STN Express query preparation.

L11 8 SEA FILE=REGISTRY SSS FUL L9

=> d l11 1-8 ibib abs hitstr
=> d que
L9 STR



G1 O,CH2

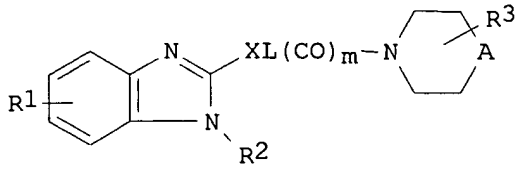
Structure attributes must be viewed using STN Express query preparation.
L11 8 SEA FILE=REGISTRY SSS FUL L9
L12 3 SEA FILE=CAPLUS L11

=> d l12 1-8 ibib abs hitstr

L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:12426 CAPLUS
DOCUMENT NUMBER: 134:86247
TITLE: Preparation and effect of benzimidazoles as antiarteriosclerotics
INVENTOR(S): Aoki, Kozo; Aikawa, Kazuhiro; Kawakami, Masayuki; Yan, Yongzhe
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000588	A1	20010104	WO 2000-JP4203	20000627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1197487	A1	20020417	EP 2000-939171	20000627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1999-181142 A 19990628
WO 2000-JP4203 W 20000627
OTHER SOURCE(S): MARPAT 134:86247
GI



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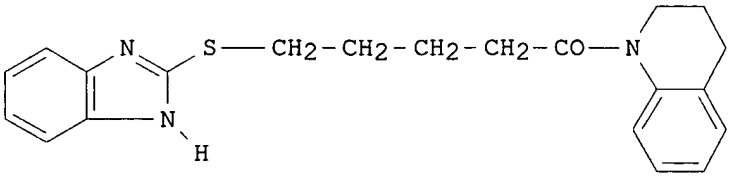


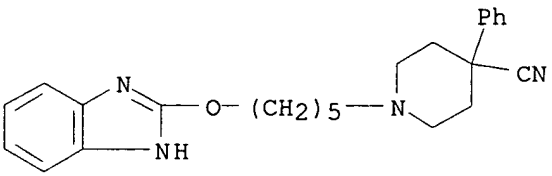
II

AB Title compds. [I; wherein R1 is hydrogen, halogeno, lower alkyl, or lower alkoxy; R2 is hydrogen, alkyl, or acyl; R3 is a substituent on the ring or forming fused ring; A is O or CH2, or alternatively A represents a CH group binding to an adjacent carbon atom through a double bond; L is C4-C8 alkylene or an ethylene-oxy connecting group represented by the general formula: (CH2CH2O)nCH2CH2 (wherein n is 1 or 2); X is O, S, or methylene; and m is 0 or 1] or salts thereof, which exhibit inhibitory activities against the loading of macrophages in forming foam cells and are useful as the active ingredient of drugs to be used in the prevention and/or treatment of arteriosclerosis. Thus, the title compd. II was prepd. and tested.

IT **316372-38-0P 316372-39-1P 316372-40-4P**
316372-41-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and effect of benzimidazoles as antiarteriosclerotics)

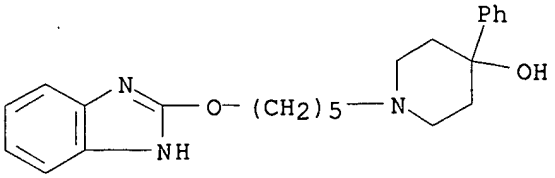
RN 316372-38-0 CAPLUS

CN 4-Piperidinecarbonitrile, 1-[5-(1H-benzimidazol-2-yloxy)pentyl]-4-phenyl- (9CI) (CA INDEX NAME)

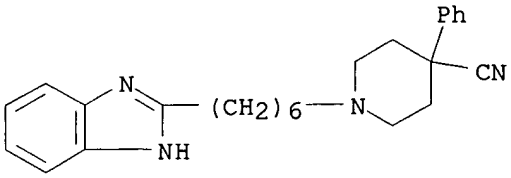


RN 316372-39-1 CAPLUS

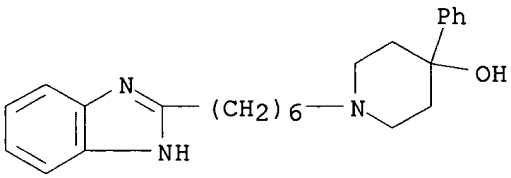
CN 4-Piperidinol, 1-[5-(1H-benzimidazol-2-yloxy)pentyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 316372-40-4 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[6-(1H-benzimidazol-2-yl)hexyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 316372-41-5 CAPLUS
CN 4-Piperidinol, 1-[6-(1H-benzimidazol-2-yl)hexyl]-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2002 ACS

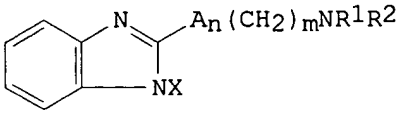
ACCESSION NUMBER: 1990:423912 CAPLUS
DOCUMENT NUMBER: 113:23912
TITLE: Preparation of benzimidazole derivatives as antihistaminics
INVENTOR(S): Giani, Roberto; Parini, Ettore; Tonon, Giancarlo
PATENT ASSIGNEE(S): Dompe Farmaceutici S.p.A., Italy
SOURCE: Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 350467	A1	19900110	EP 1989-830312	19890706
EP 350467	B1	19931229		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 02067272	A2	19900307	JP 1989-173174	19890706
JP 05067624	B4	19930927		
US 4971980	A	19901120	US 1989-376075	19890706
AT 99295	E	19940115	AT 1989-830312	19890706
ES 2062098	T3	19941216	ES 1989-830312	19890706

PRIORITY APPLN. INFO.: IT 1988-21271 19880707
EP 1989-830312 19890706

OTHER SOURCE(S): MARPAT 113:23912

GI



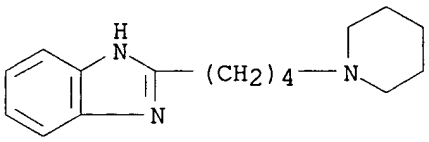
I

AB Title compds. I [A = CH2CHMe, CHMeCH2; X = PhCH2, fluorobenzyl, EtOCH2CH2, H2C:CHCH2OCH2CH2, tetrahydrofurfuryl; R1, R2 = (un)satd. C1-4 alkyl, R1R2N = (substituted) pyrrolidinyl-piperidinyl; m = 0-5; n = 0,1] and their salts, are prepd. 2-[3-(Dimethylamino)propyl]benzimidazole (prepn. given) in DMF was treated with NaH and warmed to 60.degree. to which EtOCH2CH2Cl was added and maintained at 60.degree. for 5 h to give 1-ethoxy-2-[3-(dimethylamino)propyl]benzimidazole (II). II had ED50 of 4.54 .mu.g/kg orally in guinea pigs against histamine-induced mortality.

IT **127841-94-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of antihistaminics)

RN 127841-94-5 CAPLUS

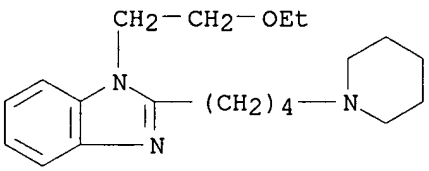
CN 1H-Benzimidazole, 2-[4-(1-piperidinyl)butyl]- (9CI) (CA INDEX NAME)



IT **127842-02-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antihistaminic)

RN 127842-02-8 CAPLUS

CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-[4-(1-piperidinyl)butyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:156308 CAPLUS
DOCUMENT NUMBER: 82:156308
TITLE: Benzimidazole derivatives
INVENTOR(S): Hasegawa, Hajime; Tsuda, Nobutada; Hasoya, Masahiro
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd.

SOURCE: Japan., 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49041198	B4	19741107	JP 1970-26357	19700328

GI For diagram(s), see printed CA Issue.

AB Twenty-three benzimidazoles [I, R = CH2Ph, CH2C6H4Cl-p, etc., R1 = O(CH2)3NMe2, OCH2CH2NMe2, 3-morpholinopropoxy, SCH2CH2NMe2, S(CH2)3NMe2, S(CH2)2NHMe, SCH2CH2N(CH2Ph)2, etc., R2 = H, 6-Cl, 5-MeO, etc.] or their salts, useful as antihistaminics, analgesics, and inflammation inhibitors (no data), were prepd. by treating the chloro deriv. (I, R1 = Cl) with the appropriate alc. or thiol in the presence of NaH. For example, NaOCH2CH2NMe2 (obtained from 8.9 g HOCH2CH2NMe2 and 4.8 g NaH) was refluxed with I (R = CH2Ph, R1 = Cl, R2 = H) (21.2 g) in benzene for 4 hr and the product treated with (CO2H)2 to give 20 g I (R = CH2Ph, R1 = OCH2CH2NMe2, R2 = H).cntdot.(CO2H)2.

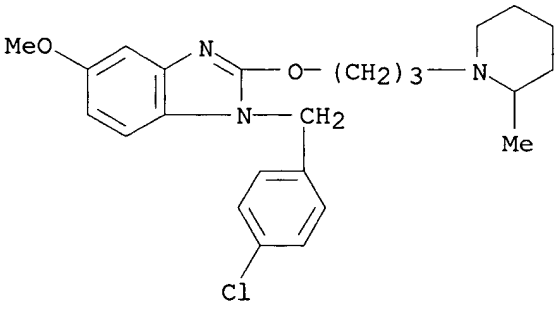
IT **55415-22-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 55415-22-0 CAPLUS

CN 1H-Benzimidazole, 1-[(4-chlorophenyl)methyl]-5-methoxy-2-[3-(2-methyl-1-piperidinyl)propoxy]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

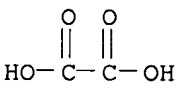
CM 1

CRN 55415-21-9
CMF C24 H30 Cl N3 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4



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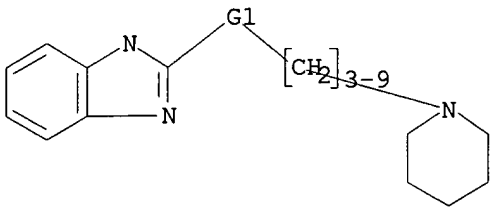
FILE 'USPAT2' ENTERED AT 14:28:25 ON 13 DEC 2002

=> d que
L9 STR



G1 O,CH2

Structure attributes must be viewed using STN Express query preparation.
L11 8 SEA FILE=REGISTRY SSS FUL L9
L13 1 SEA L11

=> d l13 ibib abs hitstr

L13 ANSWER 1 OF 1 USPATFULL

ACCESSION NUMBER:	90:89303 USPATFULL
TITLE:	Pharmacologically active benzimidazole derivatives
INVENTOR(S):	Roberto, Giani P., Milan, Italy Ettore, Parini, Milan, Italy Giancarlo, Tonon, Milan, Italy
PATENT ASSIGNEE(S):	Dompe Farmaceutici S.p.A., Milan, Italy (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4971980		19901120	
APPLICATION INFO.:	US 1989-376075		19890706	(7)

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1988-21271	19880707

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Lee: Mary C.
ASSISTANT EXAMINER:	Haley, Jacqueline
LEGAL REPRESENTATIVE:	Armstrong, Nikaido, Marmelstein, Kubovcik & Murray
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	1,6
LINE COUNT:	312

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel benzimidazole derivatives (I) are described, of formula ##STR1## wherein A represents ##STR2## n is 0 or 1; m represents 0 or an integer of from 1 to 5 inclusive, provided that when n is 0, m represents an integer of from 2 to 5 inclusive;

X represents a radical selected from the group consisting of benzyl, fluorobenzyl, alkoxyalkyl and tetrahydrofurfuryl;

R.sub.1 and R.sub.2 represent each a saturated or unsaturated alkyl radical having of from 1 to 4 carbon atoms or they may form, together with the adjacent nitrogen atom, an optionally substituted heterocyclic ring selected from the group consisting of pyrrolidine and piperidine, and the corresponding, non-toxic, pharmaceutically acceptable acid addition salts.

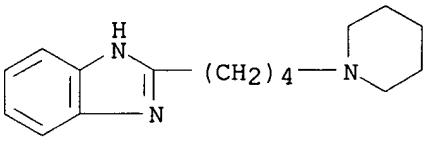
The compounds (I) are endowed with an interesting antihistaminic activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **127841-94-5P**
(prepn. and reaction of, in prepn. of antihistaminics)

RN 127841-94-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1-piperidinyl)butyl]- (9CI) (CA INDEX NAME)



IT **127842-02-8P**
(prepn. of, as antihistaminic)

RN 127842-02-8 USPATFULL

CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-[4-(1-piperidinyl)butyl]- (9CI) (CA INDEX NAME)